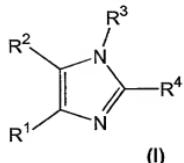


We Claim:

1. A process for preparing a compound of formula (I)



5

wherein

R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted;

R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoC₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, aryloxycarbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms;

25

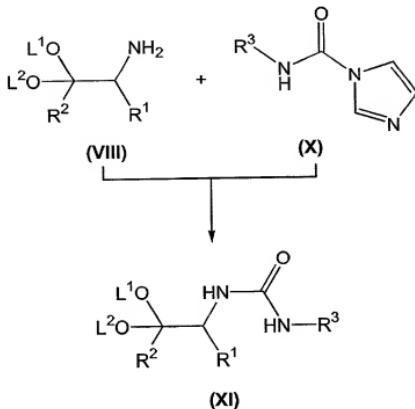
R⁴ is $\left\{ \begin{array}{c} \text{---C}\equiv\text{C---}(\text{CH}_2)_p\text{---X} \\ | \\ \text{---C}\equiv\text{C---}(\text{CH}_2)_p\text{---X} \end{array} \right.$, where

p is an integer from 0 to 9;

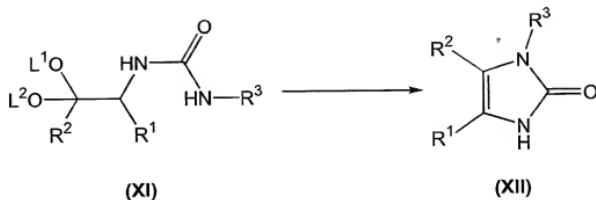
X is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is selected from fluorine or chlorine), C₁-C₅alkyl, substituted C₁-C₅alkyl (where the alkyl substituents are selected from one or more of C₁-C₅alkoxy, trihaloalkyl, phthalamido or amino), C₃-C₇cycloalkyl, C₁-C₅alkoxy, substituted C₁-C₅alkoxy (where the alkyl substituents are selected from phthalimido or amino), phthalimidoxy, phenoxy, substituted phenoxy (where the phenyl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), phenyl, substituted phenyl (where the phenyl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl (where the aryl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), arylhydroxyC₁-C₅alkylamino, C₁-C₅alkylamino, di(C₁-C₅alkyl)amino, nitrile, oxime, benzyloxyimino, C₁-C₅alkyloxyamino, phthalimido, succinimido, C₁-C₅alkylcarbonyloxy, phenylcarbonyloxy, substituted phenylcarbonyloxy (where the phenyl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), phenylC₁-C₅alkylcarbonyloxy, (where the phenyl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), aminocarbonyloxy, C₁-C₅alkylaminocarbonyloxy, di(C₁-C₅alkyl)aminocarbonyloxy, C₁-C₅alkoxycarbonyloxy, substituted C₁-C₅alkoxycarbonyloxy (where the alkyl substituents are selected from the group consisting of methyl, ethyl, isopropyl and hexyl), phenoxy carbonyloxy, substituted phenoxy carbonyloxy (where the phenyl substituents are selected from C₁-C₅alkyl, fluorine, chlorine or C₁-C₅alkoxy), C₁-C₅alkylthio,

substituted C_1-C_5 alkylthio (where the alkyl substituents are selected from hydroxy and phthalimido), C_1-C_5 alkylsulfonyl, phenylsulfonyl and substituted phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C_1-C_5 alkoxy or trifluoromethyl);
 5 or pharmaceutically acceptable salts thereof;

comprising

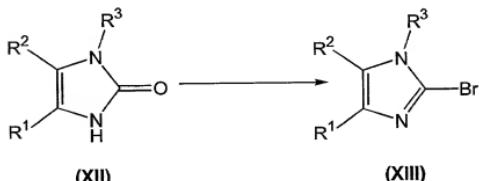


10 reacting a compound of formula (VIII), wherein L^1 and L^2 are independently selected from the group consisting of C_1-C_4 alkyl and C_1-C_4 aralkyl; or L^1 together with L^2 is selected from the group consisting of $-\text{CH}_2-\text{CH}_2-$ (optionally substituted with one to four C_1-C_3 alkyl), and $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$
 15 (optionally substituted with one to six C_1-C_3 alkyl); with a compound of formula (X), to produce the corresponding compound of formula (XI);



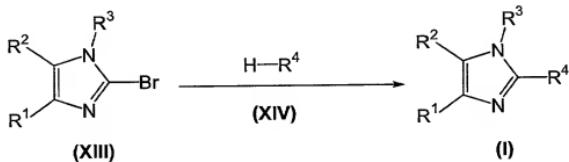
cyclizing the compound of formula (XI), under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII);

5



reacting the compound of formula (XII) with POBr_3 , PBr_5 , or a mixture of PBr_3 and Br_2 , to yield the corresponding compound of formula (XIII);

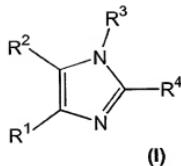
19



displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

15

2. A process for preparing a compound of formula (I)



wherein

5 R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

10 R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted;

15 R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoC₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, 20 aryloxycarbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms;

R⁴ is $\begin{array}{c} \text{---} \\ \Big\{ \\ \text{---} \end{array} \text{C}\equiv\text{C---}(\text{CH}_2)_p\text{---}X$, where

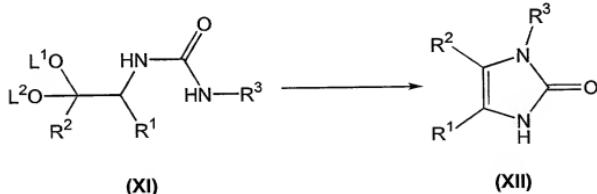
p is an integer from 0 to 9;

25 X is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is

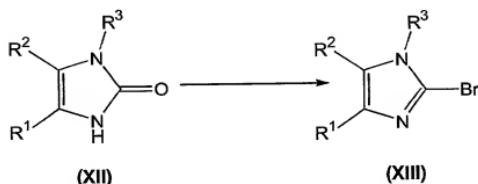
selected from fluorine or chlorine), $C_1\text{-}C_5$ alkyl,
substituted $C_1\text{-}C_5$ alkyl (where the alkyl substituents are
selected from one or more of $C_1\text{-}C_5$ alkoxy, trihaloalkyl,
phthalamido or amino), $C_3\text{-}C_7$ cycloalkyl, $C_1\text{-}C_5$ alkoxy,
substituted $C_1\text{-}C_5$ alkoxy (where the alkyl substituents are
selected from phthalimido or amino), phthalimidooxy,
phenoxy, substituted phenoxy (where the phenyl
substituents are selected from $C_1\text{-}C_5$ alkyl, fluorine,
chlorine or $C_1\text{-}C_5$ alkoxy), phenyl, substituted phenyl (where
the phenyl substituents are selected from $C_1\text{-}C_5$ alkyl,
fluorine, chlorine or $C_1\text{-}C_5$ alkoxy), aryl $C_1\text{-}C_5$ alkyl,
substituted aryl $C_1\text{-}C_5$ alkyl (where the aryl substituents are
selected from $C_1\text{-}C_5$ alkyl, fluorine, chlorine or $C_1\text{-}$
 C_5 alkoxy), aryhydroxy $C_1\text{-}C_5$ alkylamino, $C_1\text{-}C_5$ alkylamino,
 $di(C_1\text{-}C_5$ alkyl)amino, nitrile, oxime, benzyloxyimino, $C_1\text{-}$
 C_5 alkyloxymino, phthalimido, succinimido, $C_1\text{-}$
 C_5 alkylcarbonyloxy, phenylcarbonyloxy, substituted
phenylcarbonyloxy (where the phenyl substituents are
selected from $C_1\text{-}C_5$ alkyl, fluorine, chlorine or $C_1\text{-}$
 C_5 alkoxy), phenyl $C_1\text{-}C_5$ alkylcarbonyloxy, (where the phenyl
substituents are selected from $C_1\text{-}C_5$ alkyl, fluorine,
chlorine or $C_1\text{-}C_5$ alkoxy), aminocarbonyloxy, $C_1\text{-}$
 C_5 alkylaminocarbonyloxy, $di(C_1\text{-}C_5$ alkyl)aminocarbonyloxy, $C_1\text{-}$
 C_5 alkoxycarbonyloxy, substituted $C_1\text{-}C_5$ alkoxycarbonyloxy
25 (where the alkyl substituents are selected from the group
consisting of methyl, ethyl, isopropyl and hexyl),
phenoxy carbonyloxy, substituted phenoxy carbonyloxy (where
the phenyl substituents are selected from $C_1\text{-}C_5$ alkyl,
fluorine, chlorine or $C_1\text{-}C_5$ alkoxy), $C_1\text{-}C_5$ alkylthio,
30 substituted $C_1\text{-}C_5$ alkylthio (where the alkyl substituents
are selected from hydroxy and phthalimido), $C_1\text{-}$
 C_5 alkylsulfonyl, phenylsulfonyl and substituted

phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C₁-C₅alkoxy or trifluoromethyl); or pharmaceutically acceptable salts thereof;

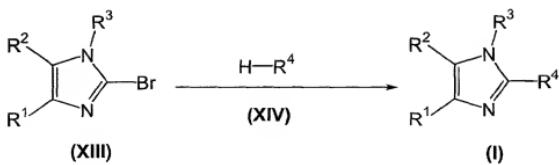
5 comprising



cyclizing a compound of formula (XI), wherein L¹ and L² are independently selected from the group consisting of C₁-C₄alkyl and C₁-C₄aralkyl; or L¹ together with L² is selected from the group consisting of -CH₂-CH₂- (optionally substituted with one to four C₁-C₃ alkyl), and -CH₂-CH₂-CH₂- (optionally substituted with one to six C₁-C₃ alkyl), under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII);



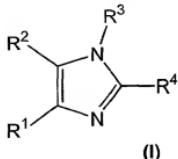
reacting the compound of formula (XII) with POBr_3 , PBr_5 , or a mixture of PBr_3 and Br_2 , to yield the corresponding compound of formula (XIII);



displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

5

3. A process for preparing a compound of formula (I)



wherein

R^1 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1-C_6 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms.

R^2 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1 - C_6 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C_1 - C_6 alkyl substituted:

R^3 is selected from the group consisting of hydrogen, aryl C_1-C_6 alkyl, substituted aryl C_1-C_6 alkyl, (where the aryl substituents are independently selected from one or more of C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, amino, C_1-C_6 alkylamino or di(C_1-C_6 alkyl)amino), phthalimido C_1-C_6 alkyl.

succinimido C_1-C_5 alkyl, C_1-C_5 alkylcarbonyl C_1-C_5 alkyl, aryloxycarbonyl C_1-C_5 alkyl, and heteroaryl C_1-C_5 alkyl, where the heteroaryl contains 5 to 6 ring atoms;

R⁴ is $\begin{array}{c} \text{---} \\ \Big\{ \\ \text{C}\equiv\text{C---(CH}_2\text{)}_{\text{p}}\text{---X} \end{array}$, where

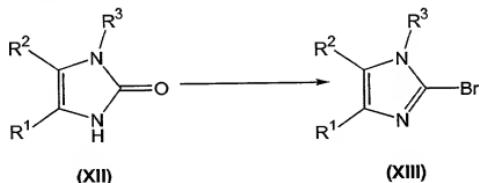
5 p is an integer from 0 to 9;

X is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is selected from fluorine or chlorine), C_1-C_5 alkyl, substituted C_1-C_5 alkyl (where the alkyl substituents are selected from one or more of C_1-C_5 alkoxy, trihaloalkyl, phthalamido or amino), C_3-C_5 cycloalkyl, C_1-C_5 alkoxy, substituted C_1-C_5 alkoxy (where the alkyl substituents are selected from phthalimido or amino), phthalimidoxy, phenoxy, substituted phenoxy (where the phenyl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), phenyl, substituted phenyl (where the phenyl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), aryl C_1-C_5 alkyl, substituted aryl C_1-C_5 alkyl (where the aryl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), arylhydroxy C_1-C_5 alkylamino, C_1-C_5 alkylamino, di(C_1-C_5 alkyl)amino, nitrile, oxime, benzyloxyimino, C_1-C_5 alkyloxyamino, phthalimido, succinimido, C_1-C_5 alkylcarbonyloxy, phenylcarbonyloxy, substituted phenylcarbonyloxy (where the phenyl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), phenyl C_1-C_5 alkylcarbonyloxy, (where the phenyl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), aminocarbonyloxy, C_1-C_5 alkylaminocarbonyloxy, di(C_1-C_5 alkyl)aminocarbonyloxy, C_1-C_5

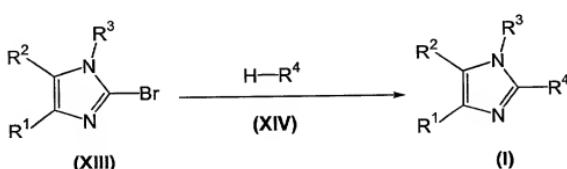
10081553-022202

C_5 alkoxycarbonyloxy, substituted C_1-C_5 alkoxycarbonyloxy (where the alkyl substituents are selected from the group consisting of methyl, ethyl, isopropyl and hexyl), phenoxy carbonyloxy, substituted phenoxy carbonyloxy (where the phenyl substituents are selected from C_1-C_5 alkyl, fluorine, chlorine or C_1-C_5 alkoxy), C_1-C_5 alkylthio, substituted C_1-C_5 alkylthio (where the alkyl substituents are selected from hydroxy and phthalimido), C_1-C_5 alkylsulfonyl, phenylsulfonyl and substituted phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C_1-C_5 alkoxy or trifluoromethyl); or pharmaceutically acceptable salts thereof;

comprising

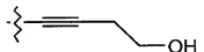


reacting the compound of formula (XII) with POBr_3 , PBr_5 , or a mixture of PBr_3 and Br_2 , to yield the corresponding compound of formula (XIII);

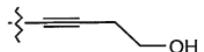


displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

- 5 4. The process of Claim 1 wherein R¹ is 4-fluorophenyl,
R² is 4-pyridyl, R³ is 3-phenylpropyl and R⁴ is



- 10 5. The process of Claim 3 wherein R¹ is 4-fluorophenyl,
R² is 4-pyridyl, R³ is 3-phenylpropyl and R⁴ is



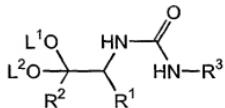
- 15 6. The process of Claim 1 wherein the compound of
formula (XII) is reacted with POBr₃ in
tetramethylenesulfone.

- 20 7. The process of Claim 3 wherein the compound of
formula (XII) is reacted with POBr₃ in
tetramethylenesulfone.

- 25 8. The process of Claim 1, wherein the compound of
formula (XII) is reacted with about a 1:1 mixture of PBr₃
and Br₂ in POCl₃.

9. The process of Claim 3, wherein the compound of
formula (XII) is reacted with about a 1:1 mixture of PBr₃
and Br₂ in POCl₃.

10. A compound of formula (XI)



(XI)

wherein

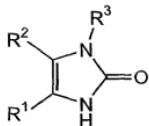
R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted;

R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoC₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, aryloxycarbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

L¹ and L² are independently selected from the group consisting of C₁-C₄alkyl and C₁-C₄aralkyl; or L¹ together with L² is selected from the group consisting of -CH₂-CH₂- (optionally substituted with one to four C₁-C₃ alkyl), and -CH₂-CH₂-CH₂- (optionally substituted with one to six C₁-C₃ alkyl).

11. A compound of the formula (XII)



(XII)

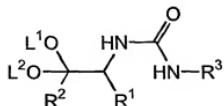
wherein

R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted; and

R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoc₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, aryloxycarbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms.

12. A process for preparing a compound of formula (XI)



(XI)

wherein

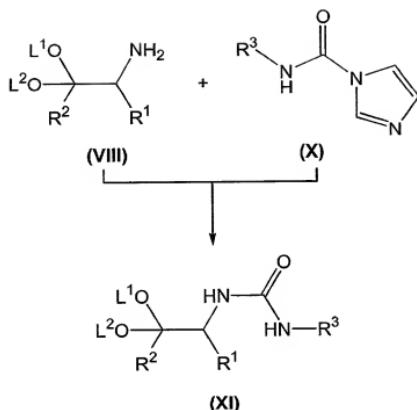
R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and
5 heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and
10 heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted;

R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoC₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, aryloxycarbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

L¹ and L² are independently selected from the group consisting of C₁-C₄alkyl and C₁-C₄aralkyl; or L¹ together with L² is selected from the group consisting of -CH₂-CH₂- (optionally substituted with one to four C₁-C₃ alkyl), and -CH₂-CH₂-CH₂- (optionally substituted with one to six C₁-C₃ alkyl)

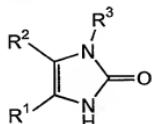
comprising



reacting a compound of formula (VIII), wherein L^1 and L^2 are independently selected from the group consisting of $\text{C}_1\text{-C}_4$ alkyl and $\text{C}_1\text{-C}_4$ aralkyl; or L^1 together with L^2 is selected from the group consisting of $-\text{CH}_2\text{-CH}_2-$ (optionally substituted with one to four $\text{C}_1\text{-C}_3$ alkyl), and $-\text{CH}_2\text{-CH}_2\text{-CH}_2-$ (optionally substituted with one to six $\text{C}_1\text{-C}_3$ alkyl); with a compound of formula (X), to produce the corresponding compound of formula (XI).

10

13. A process for preparing a compound of formula (XII)



(XII)

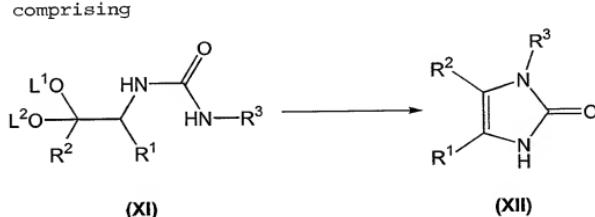
wherein

15 R^1 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from $\text{C}_1\text{-C}_5$ alkyl, halogen or trifluoromethyl) and

heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

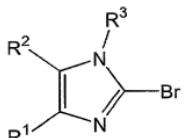
R^2 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1-C_6 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C_1-C_6 alkyl substituted; and

R^3 is selected from the group consisting of hydrogen, aryl C_1-C_5 alkyl, substituted aryl C_1-C_5 alkyl, (where the aryl substituents are independently selected from one or more of C_1-C_5 alkyl, C_1-C_5 alkoxy, halogen, amino, C_1-C_5 alkylamino or di(C_1-C_5 alkyl)amino), phthalimido C_1-C_5 alkyl, succinimido C_1-C_5 alkyl, C_1-C_5 alkylcarbonyl C_1-C_5 alkyl, aryloxycarbonyl C_1-C_5 alkyl, and heteroaryl C_1-C_5 alkyl, where the heteroaryl contains 5 to 6 ring atoms



cyclizing a compound of formula (XI), wherein L¹ and L² are independently selected from the group consisting of C₁-C₄alkyl and C₁-C₄aralkyl; or L¹ together with L² is selected from the group consisting of -CH₂-CH₂- (optionally substituted with one to four C₁-C₃ alkyl), and -CH₂-CH₂-CH₂- (optionally substituted with one to six C₁-C₃ alkyl); under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII).

14. A process for preparing a compound of formula (XIII)



(XIII)

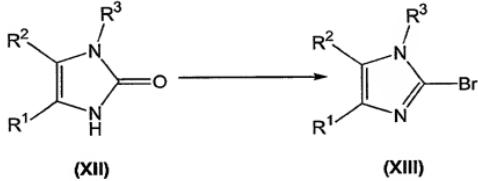
wherein

R^1 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1-C_5 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R^2 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1-C_6 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C_1-C_6 alkyl substituted; and

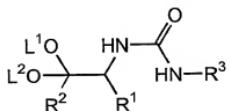
R^3 is selected from the group consisting of hydrogen, aryl C_1-C_5 alkyl, substituted aryl C_1-C_5 alkyl, (where the aryl substituents are independently selected from one or more of C_1-C_5 alkyl, C_1-C_5 alkoxy, halogen, amino, C_1-C_5 alkylamino or di(C_1-C_5 alkyl)amino), phthalimido C_1-C_5 alkyl, succinimido C_1-C_5 alkyl, C_1-C_5 alkylcarbonyl C_1-C_5 alkyl, aryloxycarbonyl C_1-C_5 alkyl, and heteroaryl C_1-C_5 alkyl, where the heteroaryl contains 5 to 6 ring atoms

comprising



reacting a compound of formula (XII) with POBr_3 , PBr_5 , or a mixture of PBr_3 and Br_2 , to yield the corresponding compound of formula (XIII).

15. A process for preparing a compound of formula (XI)



(xi)

wherein

R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₆alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms:

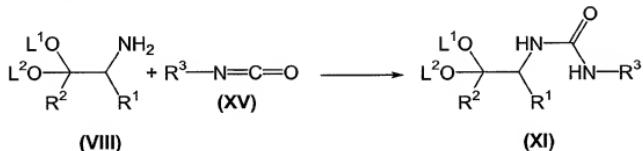
R^2 is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C_1 - C_6 alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C_1 - C_6 alkyl substituted;

R^3 is selected from the group consisting of hydrogen, aryl C_1-C_5 alkyl, substituted aryl C_1-C_5 alkyl, (where the aryl substituents are independently selected from one or more of C_1-C_5 alkyl, C_1-C_5 alkoxy, halogen, amino, C_1-C_5 alkylamino or di(C_1-C_5 alkyl)amino), phthalimido C_1-C_5 alkyl, succinimido C_1-C_5 alkyl, C_1-C_5 alkylcarbonyl C_1-C_5 alkyl, aryloxycarbonyl C_1-C_5 alkyl, and heteroaryl C_1-C_5 alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

L^1 and L^2 are independently selected from the group consisting of C_1-C_4 alkyl and C_1-C_4 aralkyl; or L^1 together with I^2 is selected from the group consisting of $-CH_2-CH_2-$

(optionally substituted with one to four C₁-C₃ alkyl), and -CH₂-CH₂-CH₂- (optionally substituted with one to six C₁-C₃ alkyl);

comprising

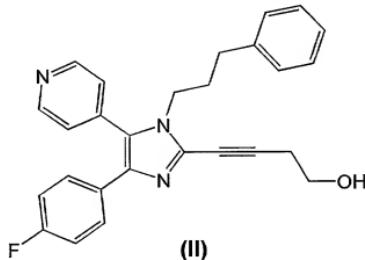


5

reacting a compound of formula (VIII) with a compound of formula (XV), to yield the corresponding compound of formula (XI).

10

16. A crystalline form of the compound of formula (II)



comprising the following x-ray powder diffraction peaks:

ANGLE °2θ	d-Spacing (Å)	Relative Intensity (%)
7.206	12.257	100.0
8.961	9.861	14.2
10.617	8.326	24.8
12.438	7.110	14.0
15.500	5.712	33.7
16.458	5.382	13.3
17.360	5.104	17.2
17.879	4.957	37.6
18.343	4.833	19.2
18.665	4.750	31.8

19.126	4.637	16.1
19.943	4.448	21.9
20.491	4.331	30.8
21.469	4.135	52.9
21.891	4.057	59.8
22.371	3.971	58.7
22.778	3.901	12.0
23.159	3.837	51.0
23.870	3.725	20.8
24.526	3.627	15.5
24.704	3.601	25.9
25.113	3.543	14.7
26.368	3.377	11.0
27.674	3.221	10.5
28.088	3.174	18.3
28.896	3.087	21.3
29.291	3.047	19.4
30.201	2.9568	10.6
30.501	2.9284	13.3

10081553.022202